

Access DB# 149583

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**SEARCH REQUEST FORM**

Scientific and Technical Information Center

Requester's Full Name: Deborah Lumb Examiner #: 71300 Date: 3/31/05  
Art Unit: 1626 Phone Number: 302-0698 Serial Number: 10/612/160  
Mail Box and Bldg/Room Location: RL-15809 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need. MEJ  
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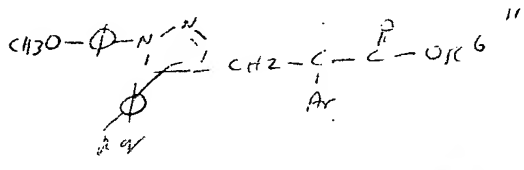
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: CCK-1 - Receptor Modulators  
Inventors (please provide full names): Barrett et al

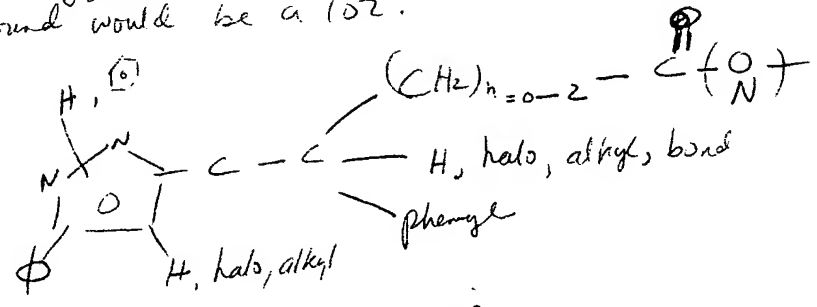
Earliest Priority Filing Date: \_\_\_\_\_

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.  
EX 127 elected see attached paper. Please Search.

Generic claim I attached but not provided with compounds  
on pgs 267 - 268 - basically "



Simplest compound would be a 102.



Ubiquitin  
Thanks DA

STAFF USE ONLY		Type of Search	Vendors and cost where applicable
Searcher: <u>3073</u>	NA Sequence (#) _____	STN <u>294</u>	
Searcher Phone #: _____	AA Sequence (#) _____	Dialog _____	
Searcher Location: _____	Structure (#) <u>2</u>	Questel/Orbit _____	
Date Searcher Picked Up: <u>4-11-05</u>	Bibliographic _____	Dr. Link _____	
Date Completed: <u>4-11-05</u>	Litigation _____	Lexis/Nexis _____	
Searcher Prep & Review Time: <u>30</u>	Fulltext _____	Sequence Systems _____	
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____	
Online Time: <u>11</u>	Other _____	Other (specify) <u>ChemDraw</u>	



# **STIC Search Report**

## **Biotech-Chem Library**

**STIC Database Tracking Number: 149523**

**TO: Deborah Lambkin**  
**Location: rem/5b09/5c18**  
**Art Unit: 1626**  
**Monday, April 11, 2005**

**Case Serial Number: 10/612150**

**From: Barb O'Bryen**  
**Location: Biotech-Chem Library**  
**Remsen 1a69**  
**Phone: 571-272-2518** *POB*

**barbara.obryen@uspto.gov**

### **Search Notes**

=> d his full

(FILE 'HOME' ENTERED AT 15:01:04 ON 11 APR 2005)

FILE 'REGISTRY' ENTERED AT 15:01:27 ON 11 APR 2005

L1 STR  
L2 10 SEA SSS SAM L1

FILE 'CAPLUS' ENTERED AT 15:05:38 ON 11 APR 2005

L3 2 SEA ABB=ON L2

FILE 'REGISTRY' ENTERED AT 15:05:43 ON 11 APR 2005

L4 450 SEA SSS FUL L1  
SAVE TEMP L4 LAM150FULL/A  
E C28H19CL3N2O2/MF  
L5 1 SEA ABB=ON C28H19CL3N2O2/MF AND L4

FILE 'REGISTRY' ENTERED AT 15:06:34 ON 11 APR 2005

D IDE

FILE 'CAPLUS, USPATFULL, TOXCENTER' ENTERED AT 15:06:46 ON 11 APR 2005

L6 6 SEA ABB=ON L5  
L7 5 DUP REM L6 (1 DUPLICATE REMOVED)  
ANSWERS '1-2' FROM FILE CAPLUS  
ANSWERS '3-5' FROM FILE USPATFULL  
D IBIB ED ABS HITSTR 1-5

FILE 'CAPLUS' ENTERED AT 15:07:26 ON 11 APR 2005

L8 7 SEA ABB=ON L4

FILE 'REGISTRY' ENTERED AT 15:07:30 ON 11 APR 2005

L9 ANALYZE L4 1- LC : 7 TERMS  
D

FILE 'REGISTRY' ENTERED AT 15:08:23 ON 11 APR 2005

D STAT QUE L4

FILE 'CAPLUS, USPATFULL, TOXCENTER' ENTERED AT 15:08:32 ON 11 APR 2005

L10 15 SEA ABB=ON L4  
L11 12 DUP REM L10 (3 DUPLICATES REMOVED)  
ANSWERS '1-7' FROM FILE CAPLUS  
ANSWERS '8-12' FROM FILE USPATFULL  
D IBIB ED ABS HITSTR 1-12

FILE 'CAOLD' ENTERED AT 15:10:31 ON 11 APR 2005

L12 1 SEA ABB=ON L4  
D IALL HITSTR L12

FILE 'HOME' ENTERED AT 15:10:53 ON 11 APR 2005

FILE 'CAOLD' ENTERED AT 15:11:04 ON 11 APR 2005

D L12 PAGE  
D SAVED

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 10 APR 2005 HIGHEST RN 848184-66-7  
DICTIONARY FILE UPDATES: 10 APR 2005 HIGHEST RN 848184-66-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now. *
* available and contains the CA role and document type information. *
*
*****
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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

#### FILE CAPLUS

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FILE COVERS 1907 - 11 Apr 2005 VOL 142 ISS 16  
FILE LAST UPDATED: 10 Apr 2005 (20050410/ED)

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

#### FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 7 Apr 2005 (20050407/PD)  
FILE LAST UPDATED: 7 Apr 2005 (20050407/ED)  
HIGHEST GRANTED PATENT NUMBER: US6877166  
HIGHEST APPLICATION PUBLICATION NUMBER: US2005076416  
CA INDEXING IS CURRENT THROUGH 7 Apr 2005 (20050407/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 7 Apr 2005 (20050407/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2005  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2005

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>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
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>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
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>>> publications. The publication number, patent kind code, and <<<  
>>> publication date for all the US publications for an invention <<<  
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<  
>>> records and may be searched in standard search fields, e.g., /PN, <<<  
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<  
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<  
>>> enter this cluster. <<<  
>>> Use USPATALL when searching terms such as patent assignees, <<<  
>>> classifications, or claims, that may potentially change from <<<  
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#### FILE TOXCENTER

FILE COVERS 1907 TO 5 Apr 2005 (20050405/ED)

This file contains CAS Registry Numbers for easy and accurate substance  
identification.

TOXCENTER has been enhanced with new files segments and search fields.  
See HELP CONTENT for more information.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the  
MeSH 2005 vocabulary. See <http://www.nlm.nih.gov/mesh/> and  
[http://www.nlm.nih.gov/pubs/techbull/nd04/nd04\\_mesh.html](http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html) for a  
description of changes.

#### FILE CAOLD

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate  
substance identification. Title keywords, authors, patent  
assignees, and patent information, e.g., patent numbers, are  
now searchable from 1907-1966. TIFF images of CA abstracts  
printed between 1907-1966 are available in the PAGE  
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=>

combined organic layers were dried ( $\text{MgSO}_4$ ) and then concentrated to provide a yellow oil. The oil was purified by preparative reversed-phase HPLC (acetonitrile/water) to afford the pure alkane as a colorless oil (10 mg, 23%).

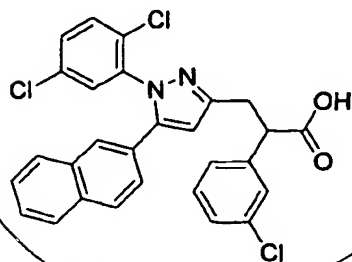
TLC (silica gel, 9:1  $\text{CH}_2\text{Cl}_2/\text{MeOH}$ ):  $R_f = 0.43$ . HPLC:  $R_t = 10.7$  (Method A).

- 5 MS (ESI): mass calculated for  $\text{C}_{26}\text{H}_{21}\text{Cl}_3\text{N}_2\text{O}_3$ , 514.06;  $m/z$  found, 513  $[\text{M}-\text{H}]^-$ .  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ): 7.32-7.23 (m, 6H), 7.14-7.10 (m, 2H), 6.92-6.89 (m, 1H), 6.88-6.85 (m, 2H), 6.23 (s, 1H), 4.03 (q,  $J = 6.9$  Hz, 2H), 4.04-4.00 (m, 1H), 3.50 (dd,  $J = 6.7, 14.7$  Hz, 1H), 3.09 (dd,  $J = 8.7, 14.7$  Hz, 1H), (1.42 (t,  $J = 7.0$  Hz, 3H),

10

The compounds of Examples 127 and 128 were made according to the synthetic methods outlined in Example 126 and Scheme H.

Example 127



15

2-(3-Chloro-phenyl)-3-[1-(2,5-dichloro-phenyl)-5-naphthalen-2-yl-1H-pyrazol-3-yl]-propionic acid.

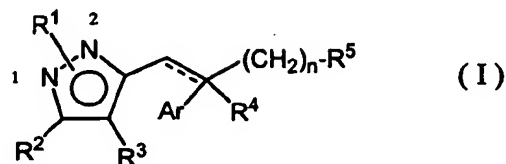
HPLC:  $R_t = 4.77$  (Method B). MS (ESI): mass calculated for  $\text{C}_{28}\text{H}_{19}\text{Cl}_3\text{N}_2\text{O}_2$ , 520.05;  $m/z$  found, 521/523  $[\text{M}+\text{H}]^+$ .  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ): 7.79-7.77 (m, 1H), 7.73-7.68 (m, 2H), 7.61-7.60 (m, 1H), 7.48-7.46 (m, 3H), 7.38-7.37 (m, 1H), 7.31-7.26 (m, 4H), 7.20 (dd,  $J = 8.5, 1.8$  Hz, 1H), 6.35 (s, 1H), 4.16 (dd,  $J = 8.3, 7.0$  Hz, 1H), 3.54 (dd,  $J = 14.8, 8.3$  Hz, 1H), 3.19 (dd,  $J = 14.8, 7.0$  Hz, 1H).

20

10 | 612, 150

What is claimed is:

1. A CCK-1 receptor antagonist of the general formula:



5

wherein,

R<sup>1</sup> is a 1- or 2-position substituent selected from the group consisting of hydrogen,

- a) phenyl, optionally mono-, di- or tri-substituted with R<sup>p</sup> or di-substituted on adjacent carbons with -OC<sub>1-4</sub>alkyleneO-,  
 10 -(CH<sub>2</sub>)<sub>2-3</sub>NH-, -(CH<sub>2</sub>)<sub>1-2</sub>NH(CH<sub>2</sub>)-, -(CH<sub>2</sub>)<sub>2-3</sub>N(C<sub>1-4</sub>alkyl)- or  
 -(CH<sub>2</sub>)<sub>1-2</sub>N(C<sub>1-4</sub>alkyl)(CH<sub>2</sub>)-

R<sup>p</sup> is selected from the group consisting of -OH, -C<sub>1-6</sub>alkyl,

- OC<sub>1-6</sub>alkyl, phenyl, -Ophenyl, benzyl, -Obenzyl, -C<sub>3-6</sub>cycloalkyl,  
 15 -OC<sub>3-6</sub>cycloalkyl, -CN, -NO<sub>2</sub>, -N(R<sup>y</sup>)R<sup>z</sup> (wherein R<sup>y</sup> and R<sup>z</sup> are  
 independently selected from H, C<sub>1-6</sub>alkyl or C<sub>1-6</sub>alkenyl, or R<sup>y</sup> and  
 R<sup>z</sup> may be taken together with the nitrogen of attachment to form  
 an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7  
 20 members, optionally having one carbon replaced with >O, =N-,  
 >NH or >N(C<sub>1-4</sub>alkyl), optionally having one carbon substituted  
 with -OH, and optionally having one or two unsaturated bonds in  
 the ring), -(C=O)N(R<sup>y</sup>)R<sup>z</sup>, -(N-R<sup>t</sup>)COR<sup>t</sup>, -(N-R<sup>t</sup>)SO<sub>2</sub>C<sub>1-6</sub>alkyl  
 (wherein R<sup>t</sup> is H or C<sub>1-6</sub>alkyl or two R<sup>t</sup> in the same substituent may  
 be taken together with the amide of attachment to form an  
 25 otherwise aliphatic hydrocarbon ring, said ring having 4 to 6  
 members), -(C=O)C<sub>1-6</sub>alkyl, -(S(=O)<sub>n</sub>)-C<sub>1-6</sub>alkyl (wherein n is  
 selected from 0, 1 or 2), -SO<sub>2</sub>N(R<sup>y</sup>)R<sup>z</sup>, -SCF<sub>3</sub>, halo, -CF<sub>3</sub>, -OCF<sub>3</sub>,  
 -COOH and -COOC<sub>1-6</sub>alkyl;

- b) phenyl or pyridyl fused at two adjacent ring members to a three  
 30 membered hydrocarbon moiety to form a fused five membered

aromatic ring, which moiety has one carbon atom replaced by >O, >S, >NH or >N(C<sub>1-4</sub>alkyl) and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with R<sup>P</sup>;

- 5 c) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with R<sup>P</sup>;
- d) naphthyl, optionally mono-, di- or tri-substituted with R<sup>P</sup>;
- 10 e) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by >O, >S, >NH or >N(C<sub>1-4</sub>alkyl), having up to two additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with R<sup>P</sup> and optionally benzo fused on the
- 15 condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with R<sup>P</sup>;
- f) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or
- 20 two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with R<sup>P</sup> and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with R<sup>P</sup>;
- g) adamantanyl or monocyclic C<sub>5-7</sub>cycloalkyl, optionally having one or
- 25 two carbon members optionally replaced with >O, >NH or >N(C<sub>1-4</sub>alkyl) and optionally having one or two unsaturated bonds in the ring and optionally having one of the ring atoms substituted with -OH, =O or -CH<sub>3</sub>;
- h) a C<sub>1-8</sub>alkyl;
- 30 i) C<sub>1-4</sub>alkyl, mono-substituted by a substituent selected from the group consisting of any one of a) to g);

R<sup>2</sup> is selected from the group consisting of:

- i) phenyl, optionally mono-, di- or tri- substituted with R<sup>Q</sup> or di-substituted on adjacent carbons with -OC<sub>1-4</sub>alkyleneO-,



$-(CH_2)_{2-3}NH-$ ,  $-(CH_2)_{1-2}NH(CH_2)-$ ,  $-(CH_2)_{2-3}N(C_{1-4}alkyl)-$  or  
 $-(CH_2)_{1-2}N(C_{1-4}alkyl)(CH_2)-$ ;

$R^q$  is selected from the group consisting of  $-OH$ ,  $-C_{1-6}alkyl$ ,

$-OC_{1-6}alkyl$ , phenyl,  $-Ophenyl$ , benzyl,  $-Obenzyl$ ,  $-C_{3-6}cycloalkyl$ ,

$-OC_{3-6}cycloalkyl$ ,  $-CN$ ,  $-NO_2$ ,  $-N(R^y)R^z$  (wherein  $R^y$  and  $R^z$  are  
independently selected from H,  $C_{1-6}alkyl$ ,  $C_{1-6}alkenyl$ , or  $R^y$  and

$R^z$  may be taken together with the nitrogen of attachment to  
form an otherwise aliphatic hydrocarbon ring, said ring having 4  
to 7 members, optionally having one carbon replaced with  $>O$ ,

$=N-$ ,  $>NH$  or  $>N(C_{1-4}alkyl)$ , optionally having one carbon

substituted with  $-OH$ , and optionally having one or two

unsaturated bonds in the ring,  $-(C=O)N(R^y)R^z$ ,  $-(N-R^t)COR^t$ ,

$-(N-R^t)SO_2C_{1-6}alkyl$  (wherein  $R^t$  is H or  $C_{1-6}alkyl$  or two  $R^t$  in the  
same substituent may be taken together with the amide of

attachment to form an otherwise aliphatic hydrocarbon ring,

said ring having 4 to 6 members),  $-(C=O)C_{1-6}alkyl$ ,

$-(S=(O)_n)-C_{1-6}alkyl$  (wherein  $n$  is selected from 0, 1 or 2),

$-SO_2N(R^y)R^z$ ,  $-SCF_3$ , halo,  $-CF_3$ ,  $-OCF_3$ ,  $-COOH$  and

$-COOC_{1-6}alkyl$ ;

ii) phenyl or pyridyl fused at two adjacent ring members to a three  
membered hydrocarbon moiety to form a fused five membered  
aromatic ring, which moiety has one carbon atom replaced by  $>O$ ,  
 $>S$ ,  $>NH$  or  $>N(C_{1-4}alkyl)$  and which moiety has up to one additional  
carbon atom optionally replaced by N, the fused rings optionally  
mono-, di- or tri-substituted with  $R^q$ ;

iii) phenyl fused at two adjacent ring members to a four membered  
hydrocarbon moiety to form a fused six membered aromatic ring,  
which moiety has one or two carbon atoms replaced by N, the fused  
rings optionally mono-, di- or tri-substituted with  $R^q$ ;

iv) naphthyl, optionally mono-, di- or tri-substituted with  $R^q$ ;

v) a monocyclic aromatic hydrocarbon group having five ring atoms,  
having a carbon atom which is the point of attachment, having one  
carbon atom replaced by  $>O$ ,  $>S$ ,  $>NH$  or  $>N(C_{1-6}alkyl)$ , having up to  
one additional carbon atoms optionally replaced by N, optionally

mono- or di-substituted with  $R^q$  and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with  $R^q$ ; and

- 5 vi) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with  $R^p$  and optionally benzo fused, where the benzo fused moiety is optionally mono- or  
10 di-substituted with  $R^q$ ;

$R^3$  is selected from the group consisting of H, halo, and  $C_{1-6}$ alkyl;

n is selected from 0, 1, or 2, with the proviso that where  $R^5$  is attached through -S-, the n is 1 or 2;

$R^4$  is selected from the group consisting of H, halo or  $C_{1-6}$ alkyl or a covalent  
15 bond in the case where the a double bond is present in the above structure;

Ar is selected from the group consisting of:

- A) phenyl, optionally mono-, di- or tri-substituted with  $R^f$  or di-substituted on adjacent carbons with  $-OC_{1-4}$ alkyleneO-,  
20  $-(CH_2)_{2-3}NH-$ ,  $-(CH_2)_{1-2}NH(CH_2)-$ ,  $-(CH_2)_{2-3}N(C_{1-4}alkyl)-$  or  $-(CH_2)_{1-2}N(C_{1-4}alkyl)(CH_2)-$ ;

$R^f$  is selected from the group consisting of  $-OH$ ,  $-C_{1-6}alkyl$ ,  $-OC_{1-6}alkyl$ , phenyl,  $-Ophenyl$ , benzyl,  $-Obenzyl$ ,  $-C_{3-6}cycloalkyl$ ,  $-OC_{3-6}cycloalkyl$ ,  $-CN$ ,  $-NO_2$ ,  $-N(R^y)R^z$  (wherein  $R^y$  and  $R^z$  are  
25 independently selected from H,  $C_{1-6}alkyl$  or  $C_{1-6}alkenyl$ , or  $R^y$  and  $R^z$  may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with  $>O$ ,  $=N-$ ,  $>NH$  or  $>N(C_{1-4}alkyl)$ , optionally having one carbon substituted  
30 with  $-OH$ , and optionally having one or two unsaturated bonds in the ring),  $-(C=O)N(R^y)R^z$ ,  $-(N-R^t)COR^t$ ,  $-(N-R^t)SO_2C_{1-6}alkyl$  (wherein  $R^t$  is H or  $C_{1-6}alkyl$  or two  $R^t$  in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6

members),  $-(C=O)C_{1-6}alkyl$ ,  $-(S(O)_n)-C_{1-6}alkyl$  (wherein  $n$  is selected from 0, 1 or 2),  $-SO_2N(R^y)R^z$ ,  $-SCF_3$ , halo,  $-CF_3$ ,  $-OCF_3$ ,  $-COOH$  and  $-COOC_{1-6}alkyl$ ;

- 5 B) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered aromatic ring, which moiety has one carbon atom replaced by  $>O$ ,  $>S$ ,  $>NH$  or  $>N(C_{1-4}alkyl)$  and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with  $R^f$ ;
- 10 C) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with  $R^f$ ;
- D) naphthyl, optionally mono-, di- or tri-substituted with  $R^f$ ;
- 15 E) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by  $>O$ ,  $>S$ ,  $>NH$  or  $>N(C_{1-4}alkyl)$ , having up to one additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with  $R^f$  and optionally benzo fused on the
- 20 condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with  $R^f$ ; and
- F) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or
- 25 two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with  $R^f$  and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with  $R^f$ ;

$R^5$  is selected from the group consisting of;

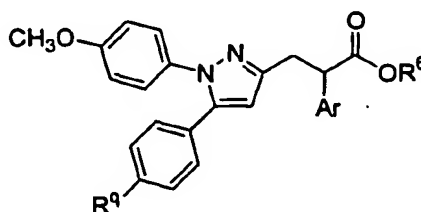
- 30 I)  $-COOR^6$ , where  $R^6$  is selected from the group consisting of H and  $-C_{1-4}alkyl$ ,
- II)  $-CONR^7R^8$ , where  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen,  $C_{1-6}alkyl$  and  $C_{3-6}cycloalkyl$  optionally hydroxy substituted, or  $R^7$  and  $R^8$  may be taken together with the

nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 5 to 7 members, optionally having one carbon replaced with  $>O$ ,  $=N$ -,  $>NH$  or  $>N(C_{1-4}alkyl)$  and optionally having one or two unsaturated bonds in the ring; and

- 5 III) tetrazolyl, [1,2,4]triazol-3-ylsulfanyl, [1,2,4]triazol-3-ylsulfonyl, [1,2,4]triazole-3-sulfinyl and [1,2,3]triazol-4-ylsulfanyl, [1,2,3]triazol-4-ylsulfonyl, [1,2,3]triazol-4-sulfinyl.

and enantiomers, diastereomers and pharmaceutically acceptable salts and esters thereof;

- 10 except said formula does not include compounds of the following formula, and/or racemic mixtures of such compounds:



*provisoriu out  
these compounds*

where  $R^9$ , Ar and  $R^6$  are selected concurrently from the groups consisting of:

CP#	$R^9$	Ar	$R^6$
R1	-Cl	phenyl-	$-CH_2CH_3$
R2	-Cl	3,4-diMeO-phenyl-	$-CH_2CH_3$
R3	-Cl	4-MeO-phenyl-	$-CH_2CH_3$
R4	$-CH_3$	2-naphthyl-	$-CH_2CH_3$
R5	$-CH_3$	1-naphthyl-	$-CH_2CH_3$
R6	$-CH_3$	2-MeO-phenyl-	$-CH_2CH_3$
R7	$-CH_3$	2-pyridyl-	$-CH_2CH_3$

R8	-CH <sub>3</sub>	2-carboxymethyl-phenyl-	-CH <sub>2</sub> CH <sub>3</sub>
R9	-CH <sub>3</sub>	3-pyridyl-	-CH <sub>2</sub> CH <sub>3</sub>
R10	-Cl	4-MeO-phenyl-	-H
R11	-Cl	3,4-diMeO-phenyl-	-H
R12	-CH <sub>3</sub>	2-naphthyl-	-H
R13	-CH <sub>3</sub>	1-naphthyl-	-H
R14	-CH <sub>3</sub>	2-MeO-phenyl-	-H
R15	-CH <sub>3</sub>	2-carboxy-phenyl-	-H
R16	-CH <sub>3</sub>	4-biphenyl	-CH <sub>2</sub> CH <sub>3</sub> and
R17	-CH <sub>3</sub>	4-biphenyl	-H.

2. The compound of claim 1 wherein R<sup>1</sup>, optionally substituted with R<sup>p</sup>, is selected from the group consisting of hydrogen:

- a) phenyl, 5-, 6-, 7-, 8-benzo-1,4-dioxanyl, 4-, 5-, 6-, 7-benzo-1,3-dioxolyl, 4-, 5-, 6-, 7-indoliny, 4-, 5-, 6-, 7-isoindoliny, 1,2,3,4-tetrahydro-quinolin-4, 5, 6 or 7-yl, 1,2,3,4-tetrahydro-isoquinolin-4, 5, 6 or 7-yl,
- b) 4-, 5-, 6- or 7-benzoxazolyl, 4-, 5-, 6- or 7-benzothiophenyl, 4-, 5-, 6- or 7-benzofuranyl, 4-, 5-, 6- or 7-indolyl, 4-, 5-, 6- or 7-benzthiazolyl, 4-, 5-, 6- or 7-benzimidazolyl, 4-, 5-, 6- or 7-indazolyl, imidazo[1,2-a]pyridin-5, 6, 7 or 8-yl, pyrazolo[1,5-a]pyridin-4, 5, 6 or 7-yl, 1H-pyrrolo[2,3-b]pyridin-4, 5 or 6-yl, 1H-pyrrolo[3,2-c]pyridin-4, 6 or 7-yl, 1H-pyrrolo[2,3-c]pyridin-4, 5 or 7-yl, 1H-pyrrolo[3,2-b]pyridin-5, 6 or 7-yl,